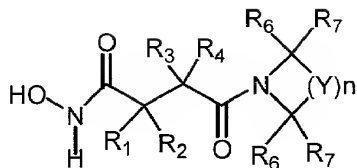


Year	1970	1971	1972	1973	1974	1975	1976	1977	1978	1979	1980	1981	1982	1983	1984	1985	1986	1987	1988	1989	1990	1991	1992	1993	1994	1995	1996	1997	1998	1999	2000	2001	2002	2003	2004	2005	2006	2007	2008	2009	2010	2011	2012	2013	2014	2015	2016	2017	2018	2019	2020	2021	2022	2023	2024	2025	2026	2027	2028	2029	2030	2031	2032	2033	2034	2035	2036	2037	2038	2039	2040	2041	2042	2043	2044	2045	2046	2047	2048	2049	2050	2051	2052	2053	2054	2055	2056	2057	2058	2059	2060	2061	2062	2063	2064	2065	2066	2067	2068	2069	2070	2071	2072	2073	2074	2075	2076	2077	2078	2079	2080	2081	2082	2083	2084	2085	2086	2087	2088	2089	2090	2091	2092	2093	2094	2095	2096	2097	2098	2099	2100
1970	1971	1972	1973	1974	1975	1976	1977	1978	1979	1980	1981	1982	1983	1984	1985	1986	1987	1988	1989	1990	1991	1992	1993	1994	1995	1996	1997	1998	1999	2000	2001	2002	2003	2004	2005	2006	2007	2008	2009	2010	2011	2012	2013	2014	2015	2016	2017	2018	2019	2020	2021	2022	2023	2024	2025	2026	2027	2028	2029	2030	2031	2032	2033	2034	2035	2036	2037	2038	2039	2040	2041	2042	2043	2044	2045	2046	2047	2048	2049	2050	2051	2052	2053	2054	2055	2056	2057	2058	2059	2060	2061	2062	2063	2064	2065	2066	2067	2068	2069	2070	2071	2072	2073	2074	2075	2076	2077	2078	2079	2080	2081	2082	2083	2084	2085	2086	2087	2088	2089	2090	2091	2092	2093	2094	2095	2096	2097	2098	2099	2100	

5



R<sub>1</sub> is hydrogen, halo, -OH, -R<sub>8</sub>OR<sub>9</sub>, -R<sub>9</sub>, -OR<sub>9</sub>, -SH, -SR<sub>9</sub>, -NH<sub>2</sub>, -NHR<sub>9</sub> –

NR<sub>9</sub>R<sub>10</sub>, -NHC(=O)H, -NR<sub>9</sub>C(=O)H, -NHC(=O)R<sub>9</sub>, -NR<sub>9</sub>C(=O)R<sub>10</sub>, -NHC(=O)NH<sub>2</sub>,  
-NR<sub>9</sub>C(=O)NH<sub>2</sub>, -NHC(=O)NHR<sub>9</sub>, -NHC(=O)NR<sub>9</sub>R<sub>10</sub>, -NR<sub>9</sub>C(=O)NR<sub>9a</sub>R<sub>10</sub>,  
-NHC(=O)OR<sub>9</sub>, -NR<sub>9</sub>C(=O)OR<sub>10</sub>, -NHS(=O)<sub>2</sub>R<sub>9</sub>, -NR<sub>9</sub>S(=O)<sub>2</sub>R<sub>10</sub>, -NHS(=O)<sub>2</sub>OR<sub>9</sub>, or  
-NR<sub>9</sub>S(=O)<sub>2</sub>OR<sub>10</sub> where R<sub>8</sub> is selected from the group consisting of -C<sub>1</sub>-C<sub>12</sub> alkylene,  
substituted alkylene, or heteroalkylene, -C<sub>1</sub>-C<sub>12</sub> alkenylene, substituted alkenylene, or  
heteroalkenylene, -C<sub>1</sub>-C<sub>12</sub> alkynylene, substituted alkynylene, or heteroalkynylene,  
and -(C<sub>1</sub>-C<sub>8</sub> alkylene or substituted alkylene)<sub>n1</sub>-(C<sub>3</sub>-C<sub>12</sub> arylene or heteroarylene)-(C<sub>1</sub>-  
C<sub>8</sub> alkyl or substituted alkyl)<sub>n2</sub> where n<sub>1</sub> and n<sub>2</sub> are independently 0 or 1; and R<sub>9</sub>, R<sub>9a</sub>  
and R<sub>10</sub> are independently selected from the group consisting of -C<sub>1</sub>-C<sub>12</sub> alkyl,  
substituted alkyl, or heteroalkyl, -C<sub>1</sub>-C<sub>12</sub> alkenyl, substituted alkenyl, or  
heteroalkenyl, -C<sub>1</sub>-C<sub>12</sub> alkynyl, substituted alkynyl, or heteroalkynyl, and -(C<sub>1</sub>-C<sub>8</sub>  
alkyl or substituted alkyl)<sub>n3</sub>-(C<sub>3</sub>-C<sub>12</sub> arylene or heteroarylene)-(C<sub>1</sub>-C<sub>8</sub> alkyl or  
substituted alkyl)<sub>n4</sub> where n<sub>3</sub> and n<sub>4</sub> are independently 0 or 1;

R<sub>3</sub> is hydrogen, halo, -R<sub>11</sub>, -OH, -OR<sub>11</sub>, -R<sub>12</sub>OR<sub>11</sub>, -SH, -SR<sub>11</sub>, -NH<sub>2</sub>, -NHR<sub>11</sub>,  
25 -NR<sub>11</sub>R<sub>13</sub>, -NHC(=O)H, -NR<sub>11</sub>C(=O)H, -NHC(=O)R<sub>11</sub>, -NR<sub>11</sub>C(=O)R<sub>13</sub>,  
-NHC(=O)NH<sub>2</sub>, -NR<sub>11</sub>C(=O)NH<sub>2</sub>, -NHC(=O)NHR<sub>11</sub>, -NHC(=O)NR<sub>11</sub>R<sub>13</sub>,  
-NR<sub>11</sub>C(=O)NR<sub>11a</sub>R<sub>13</sub>, -NHC(=O)OR<sub>11</sub>, -NR<sub>11</sub>C(=O)OR<sub>13</sub>, -NHS(=O)<sub>2</sub>R<sub>13</sub>,  
-NR<sub>11</sub>S(=O)<sub>2</sub>R<sub>13</sub>, -NHS(=O)<sub>2</sub>OR<sub>11</sub>, or -NR<sub>11</sub>S(=O)<sub>2</sub>OR<sub>13</sub>, where R<sub>12</sub> is selected from  
the group consisting of -C<sub>1</sub>-C<sub>12</sub> alkylene, substituted alkylene, or heteroalkylene, -C<sub>1</sub>-  
30 C<sub>12</sub> alkenylene, substituted alkenylene, or heteroalkenylene, -C<sub>1</sub>-C<sub>12</sub> alkynylene,  
substituted alkynylene, or heteroalkynylene, and -(C<sub>1</sub>-C<sub>8</sub> alkylene or substituted  
alkylene)<sub>n5</sub>-(C<sub>3</sub>-C<sub>12</sub> arylene or heteroarylene)-(C<sub>1</sub>-C<sub>8</sub> alkyl or substituted alkyl)<sub>n6</sub>

where n5 and n6 are independently 0 or 1; and R<sub>11</sub>, R<sub>11a</sub> and R<sub>13</sub> are independently selected from the group consisting of -C<sub>1</sub>-C<sub>12</sub> alkyl, substituted alkyl, or heteroalkyl, -C<sub>1</sub>-C<sub>12</sub> alkenyl, substituted alkenyl, or heteroalkenyl, -C<sub>1</sub>-C<sub>12</sub> alkynyl, substituted alkynyl, or heteroalkynyl, and -(C<sub>1</sub>-C<sub>8</sub> alkyl or substituted alkyl)<sub>n7</sub>-(C<sub>3</sub>-C<sub>12</sub> arylene or heteroarylene)-(C<sub>1</sub>-C<sub>8</sub> alkyl or substituted alkyl)<sub>n8</sub> where n7 and n8 are independently 0 or 1;

R<sub>4</sub> is hydrogen or -R<sub>11</sub> where -R<sub>11</sub> is as defined above;

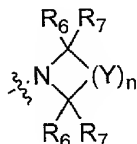
n is an integer from 1 to 5;

zero or one Y is selected from the group consisting of -O-, -NR<sub>11</sub>- where R<sub>11</sub> is as defined above, and -S-, and all remaining Y are -CR<sub>6</sub>R<sub>7</sub>- where R<sub>6</sub> and R<sub>7</sub> are each independently selected from the group consisting of hydrogen, -R<sub>14</sub>, -OH, -OR<sub>14</sub>, -SH, -SR<sub>14</sub>, -NH<sub>2</sub>, -NHR<sub>14</sub>, -NR<sub>14</sub>R<sub>15</sub>, -C(=O)H, -C(=O)R<sub>14</sub>, -C(=O)NH<sub>2</sub>, -C(=O)NHR<sub>14</sub>, -C(=O)NR<sub>14</sub>R<sub>15</sub>, -C(=O)OH, -C(=O)OR<sub>14</sub>, -C(=O)SH, -C(=O)SR<sub>14</sub>, -C(=O)CH<sub>3</sub>, -C(=O)CH<sub>2</sub>R<sub>14</sub>, -C(=O)CHR<sub>14</sub>R<sub>15</sub>, -C(=O)CR<sub>14</sub>R<sub>15</sub>R<sub>16</sub>, -C(=O)OCH<sub>3</sub>, -C(=O)OCH<sub>2</sub>R<sub>14</sub>, -C(=O)OCHR<sub>14</sub>R<sub>15</sub>, -C(=O)OCR<sub>14</sub>R<sub>15</sub>R<sub>16</sub>, -S(=O)<sub>2</sub>NH<sub>2</sub>, -S(=O)<sub>2</sub>NHR<sub>14</sub>, -S(=O)<sub>2</sub>NR<sub>14</sub>R<sub>15</sub>, -NHC(=O)H, -N(R<sub>14</sub>)C(=O)H, -NHC(=O)R<sub>15</sub>, -N(R<sub>14</sub>)C(=O)R<sub>15</sub>, -NHC(=O)OR<sub>14</sub>, -NHS(=O)<sub>2</sub>H, -N(R<sub>14</sub>)S(=O)<sub>2</sub>H, -NHS(=O)<sub>2</sub>OR<sub>15</sub>, -N(R<sub>14</sub>)S(=O)<sub>2</sub>OR<sub>15</sub>, -N(H)S(=O)<sub>2</sub>R<sub>15</sub>, -N(R<sub>14</sub>)S(=O)<sub>2</sub>R<sub>15</sub> and where two vicinal R<sub>6</sub> or R<sub>7</sub> groups combine to form a substituted or unsubstituted -C<sub>4</sub>-C<sub>10</sub> cyclic alkyl, cyclic heteroalkyl, aryl or heteroaryl group where R<sub>14</sub>, R<sub>15</sub> and R<sub>16</sub> are each independently selected from the group consisting of -C<sub>1</sub>-C<sub>12</sub> alkyl, substituted alkyl, or heteroalkyl, -C<sub>1</sub>-C<sub>12</sub> alkenyl, substituted alkenyl, or heteroalkenyl, -C<sub>1</sub>-C<sub>12</sub> alkynyl, substituted alkynyl, or heteroalkynyl, alkoxy, and -(C<sub>1</sub>-C<sub>8</sub> alkyl or substituted alkyl)<sub>n9</sub>-(C<sub>3</sub>-C<sub>12</sub> arylene or heteroarylene)-(C<sub>1</sub>-C<sub>8</sub> alkyl or substituted alkyl)<sub>n10</sub> where n9 and n10 are independently 0 or 1; or when R<sub>14</sub> and R<sub>15</sub> are attached to a nitrogen atom they can combine to form a substituted or unsubstituted -C<sub>4</sub>-C<sub>10</sub> cyclic alkyl, cyclic heteroalkyl, aryl or heteroaryl group; or a pharmaceutically acceptable salt thereof.

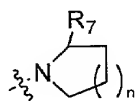
2. The compound of Claim 2 wherein R<sub>1</sub> is halo.
3. The compound of Claim 2 wherein R<sub>1</sub> is fluoro.
4. The compound of Claim 3 wherein R<sub>2</sub> and R<sub>4</sub> are hydrogen.

5. The compound of Claim 4 wherein R<sub>3</sub> is alkyl.
6. The compound of Claim 5 wherein the

5



group is a group of formula:

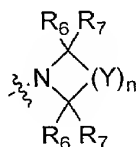


10 wherein:

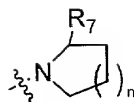
n is 1; and

R<sub>7</sub> is -C(=O)NR<sub>14</sub>R<sub>15</sub> where R<sub>14</sub> and R<sub>15</sub> are independently selected from the group consisting of hydrogen, -(C<sub>1</sub>-C<sub>12</sub>) alkyl, substituted alkyl, or heteroalkyl, -(C<sub>1</sub>-C<sub>12</sub>) alkenyl, substituted alkenyl, or heteroalkenyl, -(C<sub>1</sub>-C<sub>12</sub>) alkynyl, substituted alkynyl, or heteroalkynyl, alkoxy, and -(C<sub>1</sub>-C<sub>8</sub> alkyl or substituted alkyl)<sub>n9</sub>-(C<sub>3</sub>-C<sub>12</sub> arylene or heteroarylene)-(C<sub>1</sub>-C<sub>8</sub> alkyl or substituted alkyl)<sub>n10</sub> where n<sub>9</sub> and n<sub>10</sub> are independently 0 or 1; or R<sub>14</sub> and R<sub>15</sub> combine to form a substituted or unsubstituted -(C<sub>4</sub>-C<sub>10</sub>)cyclic alkyl, cyclic heteroalkyl, aryl or heteroaryl group.

20 7. The compound of Claim 5 wherein the



group is a group of formula:



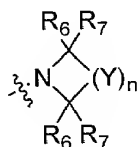
wherein:

n is 1; and

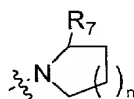
- 5            R<sub>7</sub> is -C(=O)NR<sub>14</sub>R<sub>15</sub> where R<sub>14</sub> and R<sub>15</sub> are each independently hydrogen or – (C<sub>1</sub>-C<sub>12</sub>) alkyl, alkoxy, aryl, heteroaryl or R<sub>14</sub> and R<sub>15</sub>, when attached to the same carbon, combine to form a cyclic heteroalkyl, aryl or heteroaryl group.

8.        The compound of Claim 5 wherein the

10



group is a group of formula:



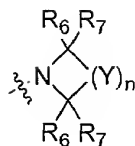
- 15        wherein:

n is 1; and

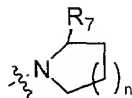
R<sub>7</sub> is -C(=O)NHR<sub>15</sub> where R<sub>15</sub> is H or –(C<sub>1</sub>-C<sub>12</sub>) alkyl, aryl, or heteroaryl or -C(=O)NR<sub>14</sub>R<sub>15</sub> where R<sub>14</sub> and R<sub>15</sub> form a substituted or unsubstituted -(C<sub>4</sub>-C<sub>10</sub>)cyclic heteroalkyl.

20

9.        The compound of Claim 5 wherein the



group is a group of formula:



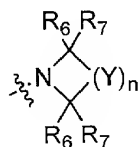
5 wherein:

n is 1; and

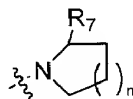
- R<sub>7</sub> is *n*-butylaminocarbonyl, *tert*-butylaminocarbonyl, benzylaminocarbonyl, 1,1-dimethylpropylaminocarbonyl, 2-(cyclohexen-1-yl)-ethylaminocarbonyl, indan-5-ylaminocarbonyl, 4,5-dimethylthiazol-2-ylaminocarbonyl, 4-phenoxyphe-  
 10 nylaminocarbonyl, cyclopropylmethyl-aminocarbonyl, pyridin-2-ylaminocarbonyl, pyridin-3-ylaminocarbonyl, pyridin-4-ylmethylaminocarbonyl, morpholin-4-ylcarbonyl, 3,4-methylenedioxy-phenylaminocarbonyl, quinolin-3-ylaminocarbonyl, methylaminocarbonyl, 4-biphenylaminocarbonyl, 3-phenoxyphe-  
 15 nylaminocarbonyl, 3,4-dichlorophenyl-aminocarbonyl, 4-*tert*-butylphenylaminocarbonyl, 4-*tert*-butylaminocarbonyl, indan-2-ylaminocarbonyl, 2,2-dimethylpropylaminocarbonyl, 4-phenylthiazol-2-ylaminocarbonyl, 5-phenylthiadiazol-2-ylaminocarbonyl, 5-ethylthiadiazol-3-ylaminocarbonyl, thiadiazol-2-ylaminocarbonyl, 3-trifluoromethoxyphenyl-aminocarbonyl, 2,5-dimethylphenylaminocarbonyl, 2,5-dimethoxyphenylamino-carbonyl, 3,4-dichlorophenylaminocarbonyl, benzthiazol-2-ylaminocarbonyl, 3-phenoxyphe-  
 20 nylaminocarbonyl, 2-hydroxybutylaminocarbonyl, 4-hydroxybutylaminocarbonyl, 1,4-benzodioxan-6-ylaminocarbonyl, isoquinolin-6-ylaminocarbonyl, methylaminocarbonyl, thiazol-2-ylaminocarbonyl, 4-methylthiazol-2-ylaminocarbonyl, 3-methylbutyl-aminocarbonyl, *n*-pentylaminocarbonyl, cyclohexylaminocarbonyl, 5-methylthiazol-2-ylaminocarbonyl, 4-methylthiazol-2-ylaminocarbonyl, 2,4-dimethoxyphenyl-aminocarbonyl, 3,4-methylenedioxyphen-5-yl-

methylaminocarbonyl, allylaminocarbonyl, 2-methylallylaminocarbonyl, pyrrolidin-1-ylcarbonyl, ethylaminocarbonyl, phenylaminocarbonyl, indan-1-ylaminocarbonyl, 2-methoxyethylaminocarbonyl, indan-5-ylaminocarbonyl, 3,4-difluorophenylaminocarbonyl, 5-methylisoxazol-5-ylaminocarbonyl, 3-fluorophenylaminocarbonyl, 4-fluorophenylaminocarbonyl, *N*-methyl-*N*-phenylaminocarbonyl, 2-propylamino-  
 carbonyl, 2-phenylpropylaminocarbonyl, *n*-propylaminocarbonyl, *N*-ethyl-*N*-(*n*-butyl)aminocarbonyl, benzylaminocarbonyl, thiazolidin-1-ylcarbonyl, piperazin-1-ylcarbonyl, piperidin-1-ylcarbonyl, azetidin-1-ylcarbonyl, homopiperdin-1-ylcarbonyl, pyrimidin-2-ylaminocarbonyl, 4-methylpiperazin-1-ylcarbonyl, 4-methylpyrimidin-  
 2-ylaminocarbonyl, pyrimidin-4-ylaminocarbonyl, pyrazin-2-ylaminocarbonyl, imidazol-2-ylaminocarbonyl.

10. The compound of Claim 5 wherein the



15 group is a group of formula:

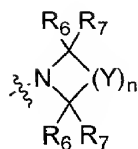


wherein:

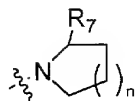
*n* is 1; and

20 *R*<sub>7</sub> is piperidin-1-ylcarbonyl, azetidin-1-ylcarbonyl, ethylaminocarbonyl, phenylaminocarbonyl, pyrimidin-2-ylaminocarbonyl, or thiazol-2-ylaminocarbonyl; and the stereochemistry at the C2 carbon atom of the pyrrolidine ring, i.e., carbon carrying the *R*<sub>7</sub> group is (*S*) and *R*<sub>3</sub> is *n*-butyl.

25 11. The compound of Claim 5 wherein the



group is a group of formula:

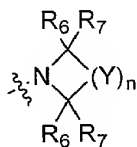


5 wherein:

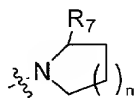
n is 1; and

R<sub>7</sub> is -C(=O)OR<sub>14</sub> where R<sub>14</sub> is hydrogen or -(C<sub>1</sub>-C<sub>12</sub>) alkyl, alkoxy, aryl, or heteroaryl.

10 12. The compound of Claim 5 wherein the



group is a group of formula:

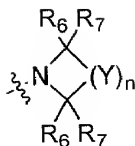


wherein:

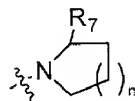
15 n is 1; and

R<sub>7</sub> is -C(=O)OR<sub>14</sub> where R<sub>14</sub> is alkyl; and the stereochemistry at the C<sub>2</sub> carbon atom of the pyrrolidine ring is (*S*).

13. The compound of Claim 1 wherein the



group is a group of formula:

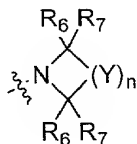


wherein:

5            n is 1; and

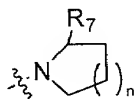
7            R<sub>7</sub> is -C(=O)NR<sub>14</sub>R<sub>15</sub> where R<sub>14</sub> and R<sub>15</sub> are independently selected from the group consisting of hydrogen, -(C<sub>1</sub>-C<sub>12</sub>) alkyl, substituted alkyl, or heteroalkyl, -(C<sub>1</sub>-C<sub>12</sub>) alkenyl, substituted alkenyl, or heteroalkenyl, -(C<sub>1</sub>-C<sub>12</sub>) alkynyl, substituted alkynyl, or heteroalkynyl, alkoxy, and -(C<sub>1</sub>-C<sub>8</sub> alkyl or substituted alkyl)<sub>n9</sub>-(C<sub>3</sub>-C<sub>12</sub> arylene or heteroarylene)-(C<sub>1</sub>-C<sub>8</sub> alkyl or substituted alkyl)<sub>n10</sub> where n<sub>9</sub> and n<sub>10</sub> are independently 0 or 1; or R<sub>14</sub> and R<sub>15</sub> combine to form a substituted or unsubstituted - (C<sub>4</sub>-C<sub>10</sub>)cyclic alkyl, cyclic heteroalkyl, aryl or heteroaryl group.

14.        The compound of Claim 1 wherein the



15

group is a group of formula:



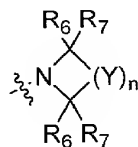
wherein:

n is 1; and

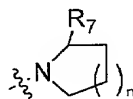


$R_7$  is  $-C(=O)NR_{14}R_{15}$  where  $R_{14}$  and  $R_{15}$  are each independently hydrogen or  $-(C_1-C_{12})$  alkyl, alkoxy, aryl, heteroaryl or  $R_{14}$  and  $R_{15}$ , when attached to the same carbon, combine to form a cyclic heteroalkyl, aryl or heteroaryl group.

5      15.      The compound of Claim 1 wherein the



group is a group of formula:

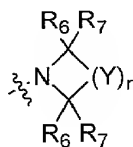


wherein:

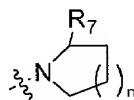
10               $n$  is 1; and

$R_7$  is  $-C(=O)NHR_{15}$  where  $R_{15}$  is H or  $-(C_1-C_{12})$  alkyl, aryl, or heteroaryl or  $-C(=O)NR_{14}R_{15}$  where  $R_{14}$  and  $R_{15}$  form a substituted or unsubstituted  $-(C_4-C_{10})$  cyclic heteroalkyl.

15      16.      The compound of Claim 1 wherein the



group is a group of formula:

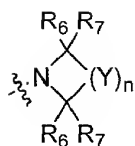


20      wherein:

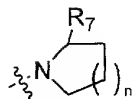
$n$  is 1; and

R<sub>7</sub> is *n*-butylaminocarbonyl, *tert*-butylaminocarbonyl, benzylaminocarbonyl, 1,1-dimethylpropylaminocarbonyl, 2-(cyclohexen-1-yl)-ethylaminocarbonyl, indan-5-ylaminocarbonyl, 4,5-dimethylthiazol-2-ylaminocarbonyl, 4-phenoxyphenyl-aminocarbonyl, cyclopropylmethyl-aminocarbonyl, pyridin-2-ylaminocarbonyl, 5  
 pyridin-3-ylaminocarbonyl, pyridin-4-ylmethylaminocarbonyl, morpholin-4-ylcarbonyl, 3,4-methylenedioxy-phenylaminocarbonyl, quinolin-3-ylaminocarbonyl, methylaminocarbonyl, 4-biphenylaminocarbonyl, 3-phenoxyphenylaminocarbonyl, 3,4-dichlorophenyl-aminocarbonyl, 4-*tert*-butylphenylaminocarbonyl, 4-*tert*-butylaminocarbonyl, indan-2-ylaminocarbonyl, 2,2-dimethylpropylaminocarbonyl, 4-  
 10 phenylthiazol-2-ylaminocarbonyl, 5-phenylthiadiazol-2-ylaminocarbonyl, 5-ethylthiadiazol-3-ylaminocarbonyl, thiadiazol-2-ylaminocarbonyl, 3-trifluoromethoxyphenyl-aminocarbonyl, 2,5-dimethylphenylaminocarbonyl, 2,5-dimethoxyphenylamino-carbonyl, 3,4-dichlorophenylaminocarbonyl, benzthiazol-2-ylaminocarbonyl, 3-phenoxyphenylaminocarbonyl, 2-hydroxybutylaminocarbonyl, 4-  
 15 hydroxybutyl-aminocarbonyl, 1,4-benzodioxan-6-ylaminocarbonyl, isoquinolin-6-ylaminocarbonyl, methylaminocarbonyl, thiazol-2-ylaminocarbonyl, 4-methylthiazol-2-yl-aminocarbonyl, 3-methylbutyl-aminocarbonyl, *n*-pentylaminocarbonyl, cyclohexylaminocarbonyl, 5-methylthiazol-2-ylaminocarbonyl, 4-methylthiazol-2-yl-aminocarbonyl, 2,4-dimethoxyphenyl-aminocarbonyl, 3,4-methylenedioxyphen-5-yl-  
 20 methylaminocarbonyl, allylaminocarbonyl, 2-methylallylaminocarbonyl, pyrrolidin-1-ylcarbonyl, ethylaminocarbonyl, phenylaminocarbonyl, indan-1-ylaminocarbonyl, 2-methoxyethylaminocarbonyl, indan-5-ylaminocarbonyl, 3,4-difluorophenyl-aminocarbonyl, 5-methylisoxazol-5-ylaminocarbonyl, 3-fluorophenylaminocarbonyl, 4-fluorophenylaminocarbonyl, *N*-methyl-*N*-phenylaminocarbonyl, 2-propylamino-  
 25 carbonyl, 2-phenylpropylaminocarbonyl, *n*-propylaminocarbonyl, *N*-ethyl-*N*-(*n*-butyl)aminocarbonyl, benzylaminocarbonyl, thiazolidin-1-ylcarbonyl, piperazin-1-ylcarbonyl, piperidin-1-ylcarbonyl, azetidin-1-ylcarbonyl, homopiperdin-1-ylcarbonyl, pyrimidin-2-ylaminocarbonyl, 4-methylpiperazin-1-ylcarbonyl, 4-methylpyrimidin-2-ylaminocarbonyl, pyrimidin-4-ylaminocarbonyl, pyrazin-2-ylaminocarbonyl, 30  
 imidazol-2-ylaminocarbonyl.

17. The compound of Claim 1 wherein the



group is a group of formula:



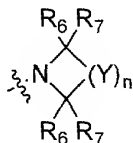
wherein:

5            n is 1; and

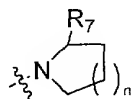
R<sub>7</sub> is piperidin-1-ylcarbonyl, azetidin-1-ylcarbonyl, ethylaminocarbonyl, phenylaminocarbonyl, pyrimidin-2-ylaminocarbonyl, or thiazol-2-ylaminocarbonyl; and the stereochemistry at the C2 carbon atom of the pyrrolidine ring, i.e., carbon carrying the R<sub>7</sub> group is (*S*).

10

18.    The compound of Claim 1 wherein the



group is a group of formula:



15

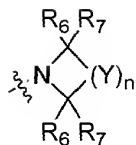
wherein:

n is 1; and

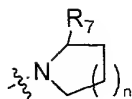
R<sub>7</sub> is -C(=O)OR<sub>14</sub> where R<sub>14</sub> is hydrogen or -(C<sub>1</sub>-C<sub>12</sub>) alkyl, alkoxy, aryl, or heteroaryl.

20

19.    The compound of Claim 1 wherein the



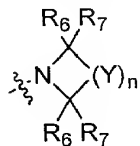
group is a group of formula:



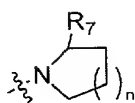
wherein:

- 5            n is 1; and  
              R<sub>7</sub> is -C(=O)OR<sub>14</sub> where R<sub>14</sub> is alkyl; and the stereochemistry at the C<sub>2</sub> carbon atom of the pyrrolidine ring is (*S*).
20.        The compound of Claim 13-19 wherein R<sub>2</sub> and R<sub>4</sub> are hydrogen.
- 10        21.        The compound of Claim 20 wherein R<sub>1</sub> is halo.
22.        The compound of Claim 21 wherein R<sub>3</sub> is alkyl.
- 15        23.        The compound of Claim 22 wherein R<sub>1</sub> is fluoro.
24.        The compound of Claim 22 wherein R<sub>3</sub> is *n*-butyl.
25.        The compound of Claim 13-19 wherein R<sub>1</sub> is halo.
- 20        26.        The compound of Claim 25 wherein R<sub>1</sub> is fluoro and R<sub>2</sub> and R<sub>4</sub> are hydrogen.
27.        The compound of Claim 26 wherein R<sub>3</sub> is alkyl.
- 25        28.        The compound of Claim 19 wherein R<sub>1</sub> is hydroxy.
29.        The compound of Claim 28 wherein R<sub>3</sub> is alkyl.
30.        The compound of Claim 29 wherein R<sub>3</sub> is *n*-butyl.
- 30        31.        The compound of Claim 1 wherein R<sub>1</sub> is hydroxy.
32.        The compound of Claim 31 wherein R<sub>2</sub> and R<sub>4</sub> are hydrogen and R<sub>3</sub> is alkyl.

33. The compound of Claim 31 wherein the



group is a group of formula:

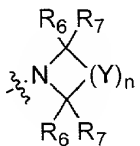


5 wherein:

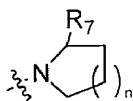
n is 1; and

R7 is -C(=O)NR<sub>14</sub>R<sub>15</sub> where R<sub>14</sub> and R<sub>15</sub> are independently selected from the group consisting of hydrogen, -(C<sub>1</sub>-C<sub>12</sub>) alkyl, substituted alkyl, or heteroalkyl, -(C<sub>1</sub>-C<sub>12</sub>) alkenyl, substituted alkenyl, or heteroalkenyl, -(C<sub>1</sub>-C<sub>12</sub>) alkynyl, substituted alkynyl, or heteroalkynyl, alkoxy, and -(C<sub>1</sub>-C<sub>8</sub> alkyl or substituted alkyl)<sub>n9</sub>-(C<sub>3</sub>-C<sub>12</sub> arylene or heteroarylene)-(C<sub>1</sub>-C<sub>8</sub> alkyl or substituted alkyl)<sub>n10</sub> where n<sub>9</sub> and n<sub>10</sub> are independently 0 or 1; or R<sub>14</sub> and R<sub>15</sub> combine to form a substituted or unsubstituted -(C<sub>4</sub>-C<sub>10</sub>)cyclic alkyl, cyclic heteroalkyl, aryl or heteroaryl group.

15 34. The compound of Claim 31 wherein the



group is a group of formula:

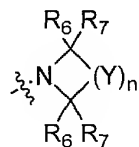


20 wherein:

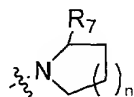
n is 1; and

$R_7$  is  $-C(=O)NR_{14}R_{15}$  where  $R_{14}$  and  $R_{15}$  are each independently hydrogen or  $-(C_1-C_{12})$  alkyl, alkoxy, aryl, heteroaryl or  $R_{14}$  and  $R_{15}$ , when attached to the same carbon, combine to form a cyclic heteroalkyl, aryl or heteroaryl group.

5      35.      The compound of Claim 31 wherein the



group is a group of formula:



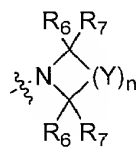
10      wherein:

$n$  is 1; and

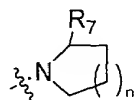
$R_7$  is  $-C(=O)NHR_{15}$  where  $R_{15}$  is H or  $-(C_1-C_{12})$  alkyl, aryl, or heteroaryl or  $-C(=O)NR_{14}R_{15}$  where  $R_{14}$  and  $R_{15}$  form a substituted or unsubstituted  $-(C_4-C_{10})$  cyclic heteroalkyl.

15

36.      The compound of Claim 31 wherein the



group is a group of formula:



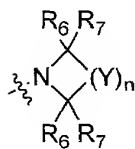
20

wherein:

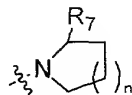
n is 1; and

R<sub>7</sub> is *n*-butylaminocarbonyl, *tert*-butylaminocarbonyl, benzylaminocarbonyl, 1,1-dimethylpropylaminocarbonyl, 2-(cyclohexen-1-yl)-ethylaminocarbonyl, indan-5-ylaminocarbonyl, 4,5-dimethylthiazol-2-ylaminocarbonyl, 4-phenoxyphenylaminocarbonyl, cyclopropylmethyl-aminocarbonyl, pyridin-2-ylaminocarbonyl, pyridin-3-ylaminocarbonyl, pyridin-4-ylmethylaminocarbonyl, morpholin-4-ylcarbonyl, 3,4-methylenedioxy-phenylaminocarbonyl, quinolin-3-ylaminocarbonyl, methylaminocarbonyl, 4-biphenylaminocarbonyl, 3-phenoxyphenylaminocarbonyl, 3,4-dichlorophenyl-aminocarbonyl, 4-*tert*-butylphenylaminocarbonyl, 4-*tert*-butylaminocarbonyl, indan-2-ylaminocarbonyl, 2,2-dimethylpropylaminocarbonyl, 4-phenylthiazol-2-ylaminocarbonyl, 5-phenylthiadiazol-2-ylaminocarbonyl, 5-ethylthiadiazol-3-ylaminocarbonyl, thiadiazol-2-ylaminocarbonyl, 3-trifluoromethoxyphenyl-aminocarbonyl, 2,5-dimethylphenylaminocarbonyl, 2,5-dimethoxyphenylamino-carbonyl, 3,4-dichlorophenylaminocarbonyl, benzthiazol-2-ylaminocarbonyl, 3-phenoxyphenylaminocarbonyl, 2-hydroxybutylaminocarbonyl, 4-hydroxybutylaminocarbonyl, 1,4-benzodioxan-6-ylaminocarbonyl, isoquinolin-6-ylaminocarbonyl, methylaminocarbonyl, thiazol-2-ylaminocarbonyl, 4-methylthiazol-2-ylaminocarbonyl, 3-methylbutyl-aminocarbonyl, *n*-pentylaminocarbonyl, cyclohexylaminocarbonyl, 5-methylthiazol-2-ylaminocarbonyl, 4-methylthiazol-2-ylaminocarbonyl, 2,4-dimethoxyphenyl-aminocarbonyl, 3,4-methylenedioxyphen-5-ylmethylaminocarbonyl, allylaminocarbonyl, 2-methylallylaminocarbonyl, pyrrolidin-1-ylcarbonyl, ethylaminocarbonyl, phenylaminocarbonyl, indan-1-ylaminocarbonyl, 2-methoxyethylaminocarbonyl, indan-5-ylaminocarbonyl, 3,4-difluorophenylaminocarbonyl, 5-methylisoxazol-5-ylaminocarbonyl, 3-fluorophenylaminocarbonyl, 4-fluorophenylaminocarbonyl, *N*-methyl-*N*-phenylaminocarbonyl, 2-propylaminocarbonyl, 2-phenylpropylaminocarbonyl, *n*-propylaminocarbonyl, *N*-ethyl-*N*-(*n*-butyl)aminocarbonyl, benzylaminocarbonyl, thiazolidin-1-ylcarbonyl, piperazin-1-ylcarbonyl, piperidin-1-ylcarbonyl, azetidin-1-ylcarbonyl, homopiperdin-1-ylcarbonyl, pyrimidin-2-ylaminocarbonyl, 4-methylpiperazin-1-ylcarbonyl, 4-methylpyrimidin-2-ylaminocarbonyl, pyrimidin-4-ylaminocarbonyl, pyrazin-2-ylaminocarbonyl, imidazol-2-ylaminocarbonyl.

37. The compound of Claim 31 wherein the



group is a group of formula:



5

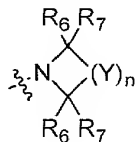
wherein:

n is 1; and

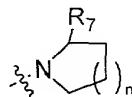
R<sub>7</sub> is piperidin-1-ylcarbonyl, azetidin-1-ylcarbonyl, ethylaminocarbonyl, phenylaminocarbonyl, pyrimidin-2-ylaminocarbonyl, or thiazol-2-ylaminocarbonyl;

10 and the stereochemistry at the C2 carbon atom of the pyrrolidine ring, i.e., carbon carrying the R<sub>7</sub> group is (*S*).

38. The compound of Claim 31 wherein the



15 group is a group of formula:



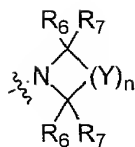
wherein:

n is 1; and

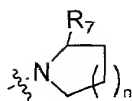
20 R<sub>7</sub> is -C(=O)OR<sub>14</sub> where R<sub>14</sub> is hydrogen or -(C<sub>1</sub>-C<sub>12</sub>) alkyl, alkoxy, aryl, or heteroaryl.

39. The compound of Claim 31 wherein the





group is a group of formula:



5

wherein:

$n$  is 1; and

$R_7$  is  $-C(=O)OR_{14}$  where  $R_{14}$  is alkyl; and the stereochemistry at the  $C_2$  carbon atom of the pyrrolidine ring is (*S*).

10

40. The compound of Claim 32-38 wherein  $R_3$  is *n*-butyl.

41. The compound of Claim 13-19 wherein  $R_2$  and  $R_4$  are hydrogen.

15

42. The compound of Claim 41 wherein  $R_1$  is hydroxy.

43. The compound of Claim 42 wherein  $R_3$  is alkyl.

44. The compound of Claim 41 wherein  $R_3$  is *n*-butyl.

20

45. The compound of Claim 1 selected from the group consisting of:

*N*-hydroxy-3-[(*S*)-(*n*-butyl)-3-(2-(*S*)-1,1-dimethylethyloxycarbonyl)-pyrrolidin-1-carbonyl]-2-(*S*)-fluoropropionamide;

25

*N*-hydroxy-3-[(*S*)-(*n*-butyl)-3-(2-(*S*)-pyridin-1-ylcarbonyl)pyrrolidin-1-carbonyl]-2-(*S*)-fluoropropionamide;

30

*N*-hydroxy-3-[(*S*)-(*n*-butyl)-3-(2-(*S*)-azetidin-1-ylcarbonyl)-pyrrolidin-1-carbonyl]-2-(*S*)-fluoropropionamide;

*N*-hydroxy-3-[(*S*)-(*n*-butyl)-3-(2-(*S*)-ethylaminocarbonyl)pyrrolidin-1-carbonyl]-2-(*S*)-fluoropropionamide;

*N*-hydroxy-3-[(*S*)-(n-butyl)-3-(2-(*S*)-phenylaminocarbonyl)-pyrrolidin-1-carbonyl]-2-(*S*)-hydroxypropionamide;

5 *N*-hydroxy-3-[(*S*)-(n-butyl)-3-(2-(*S*)-pyrimidin-2-ylaminocarbonyl)pyrrolidin-1-carbonyl]-2-(*S*)-hydroxypropionamide; and

*N*-hydroxy-3-[(*S*)-(n-butyl)-3-(2-(*S*)-thiazol-2-ylaminocarbonyl)-pyrrolidin-1-carbonyl]-2-(*S*)-fluoropropionamide.

10 46. A pharmaceutical composition comprising a therapeutically effective amount of a compound of Claims 1-45 and a pharmaceutically acceptable excipient.

47. A method of treatment of a disease in a mammal treatable by administration of a peptidyl deformylase inhibitor which method comprises administration of a  
15 pharmaceutical composition comprising a therapeutically effective amount of a compound of Claim 1-45 and a pharmaceutically acceptable excipient.

48. The method of Claim 47 wherein the disease is a bacterial disease.